ABSTRACT

CRF ANTAGONISTIC PYRAZOLO[4,3-B]PYRIDINES

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This invention concerns compounds of formula

$$\mathbb{R}^{4} \xrightarrow{\stackrel{N}{\stackrel{\cdot}{N}}} \mathbb{N} \mathbb{N} \mathbb{R}^{2}$$
 (I),

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including the stereoisomers and the pharmaceutically acceptable acid addition salt forms thereof, wherein R^1 is $C_{1\text{-}6}$ alkyl, NR^5R^6 , OR^6 or SR^6 ; R^2 is $C_{1\text{-}6}$ alkyl, C_{1-6} alkyloxy, or C_{1-6} alkylthio; R^3 is Ar^1 or Het^1 ; R^4 is hydrogen or C_{1-6} alkyl; R^5 is hydrogen, C₁₋₈alkyl, mono- or di(C₃₋₆cycloalkyl)methyl, C₃₋₆cycloalkyl, C₃₋₆alkenyl, $hydroxyC_{1-6}alkyl, C_{1-6}alkyl, C_{1-6}alkyl, mono- or di(C_{1-6}alkyl)amino- or di(C_{1-6}$ C₁₋₆alkyl or C₁₋₆alkyloxyC₁₋₆alkyl; R⁶ is C₁₋₈alkyl, mono- or di(C₃₋₆cycloalkyl)methyl, Ar^2C_{1-6} alkyl, Ar^2OxyC_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, hydroxy C_{1-6} alkyl, C_{3-6} alkenyl, thienylmethyl, furanylmethyl, tetrahydrofuranylmethyl, C₁₋₆alkylthioC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, di(C₁₋₆alkyl)amino, or C₁₋₆alkylcarbonylC₁₋₆alkyl; or R⁵ and R6 taken together with the nitrogen atom to which they are attached may form a pyrrolidinyl, piperidinyl, homopiperidinyl, morpholinyl, or thiomorpholinyl group. optionally substituted with 1 or 2 substituents each independently selected from C₁₋₆alkyl or C₁₋₆alkyloxyC₁₋₆alkyl; and and Ar¹ and Ar² are each optionally substituted phenyl; and Het1 is optionally substituted pyridinyl; having CRF receptor antagonistic properties; pharmaceutical compositions containing such compounds as active ingredients; methods of treating disorders related to hypersecretion of CRF such as depression, anxiety, substance abuse, by administering an effective amount of a compound of formula (I).